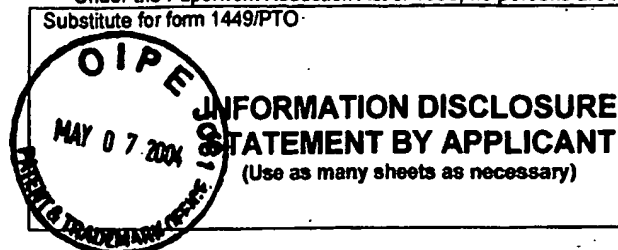


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**Complete if Known**

Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned - 1626
Examiner Name	To be assigned - Anthony
Attorney Docket Number	PC25051A <i>Parigianiti</i>

**U.S. PATENT DOCUMENTS**

EXAMINER INITIAL	Cite No. <sup>1</sup>	DOCUMENT NUMBER Number-Kind Code <sup>2</sup>	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
<i>ASP</i>	AA	10/699,068	Filed on 10-30-2003	Agouron Pharmaceuticals, Inc.	

**FOREIGN PATENT DOCUMENTS**

EXAMINER INITIAL	Cite No. <sup>1</sup>	Foreign Patent Document Country Code <sup>3</sup> Number <sup>4</sup> Kind Code <sup>5</sup> (if known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T <sup>6</sup>
<i>ASP</i>	AB	WO 02/070491 (English abstract enclosed)	09-12-2002	<i>Abstract only</i> Shionogi & Co., Ltd.		
<i>ASP</i>	AC	JP 2003119137 (English abstract enclosed)	04-23-2003	<i>Abstract only</i> Japan Tobacco, Inc.		
<i>ASP</i>	AD	WO 03/035076	05-01-2003	Instituto Di Ricerche Di Biologa Molecolare P. Angeletti Spa <i>Di Francesco</i>		
<i>ASP</i>	AE	WO 03/047564 (English abstract enclosed)	06-12-2003	<i>Abstract only</i> Shionogi & Co., Ltd.		
<i>ASP</i>	AF	WO 03/049690	06-19-2003	Bristol-Myers Squibb Company <i>Walker</i>		

EXAMINER:

*Anthony J. Blunt*

DATE CONSIDERED:

*3/14/05*

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**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

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**Complete if Known**

Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned 1626
Examiner Name	To be assigned Anthony Carlucci
Attorney Docket Number	PC25051A

ATP	AG	JP 2003171381 (counterpart is WO 2003035650 for which English abstract is enclosed)	06-20-2003	Abstract only Takeda Chemical Industries, Ltd.	
ATP	AH	WO 03/062204	07-31-2003	Merck & Co., Inc. Egbertson	

**NON PATENT LITERATURE DOCUMENTS**

Examiner Initials	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>2</sup>
ATP	AI	ABDEL-MAGID, et al., "Reductive Amination of Aldehydes And Ketones With Sodium Triacetoxyborohydride. Studies On Direct And Indirect Reductive Amination Procedures," <i>Journal of Organic Chemistry</i> , 1996, 3849-3862, Vol. 61.	
ATP	AJ	BAGSHAWE, K. et. al., "Antibody-Directed Enzyme Prodrug Therapy: A Review," <i>Drug Development Research</i> , 1995, 220-230, Vol. 34.	
ATP	AK	BARBIER, C., et al., "Preparation of Lavendamycin Analogues," <i>Heterocycles</i> , 2000, 37-48, Vol. 53, No. 1.	
ATP	AL	BERTOLINI, G. et. al., "A New Rational Hypothesis for the Pharmacophore of the Active Metabolite of Leflunomide, a Potent Immunosuppressive Drug," <i>J. Med. Chem.</i> , 1997, 2011-2016, Vol. 40.	
ATP	AM	BIERE, H., et al., "Eine Neue und Besonders Einfache Synthese Von Zentralaktiven $\beta$ -Carbolin-Derivaten," <i>Liebigs Ann. Chem.</i> , 1986, 1749-1764 (see English abstract).	
ATP	AN	BODOR, N., "Novel Approaches to the Design of Safer Drugs: Soft Drugs and Site-Specific Chemical Delivery Systems," <i>Advances in Drug Research</i> , 1984, 255-331, Vol. 13.	
ATP	AO	BUNDGAARD, et al., <i>Design of Prodrugs</i> , 1985, Elsevier Press. (table of contents only)	
ATP	AP	BUNDGAARD, H. "Design and Application of Prodrugs", <i>Drug Design Application and Development</i> , 1991.	
ATP	AQ	BUTLER, S.L., et al., "A Quantitative Assay for HIV DNA Integration <i>In Vivo</i> ," <i>Nature Medicine</i> , May 2001, 631-634, Vol. 7, No. 5.	
ATP	AR	CAMPBELL, K.N., et al., "The Preparation of Unsymmetrical Secondary Aliphatic Amines," <i>J. Am. Chem. Soc.</i> , 1944, 82-84, Vol. 66.	

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**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(Use as many sheets as necessary)

**Complete if Known**

Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned 1626
Examiner Name	To be assigned A. Periglas
Attorney Docket Number	PC25051A

AJP	AS	CHEN, B. et al., "Distinct Modes Of Human Immunodeficiency Virus Type 1 Proviral Latency Revealed By Superinfection Of Nonproductively Infected Cell Lines With Recombinant Luciferase-Encoding Viruses," <i>Journal of Virology</i> , 1994, 654-660, Vol. 68, No. 2. <i>abstract only</i>	
AJP	AT	DEAR, G.J. et al., "Mass Directed Peak Selection, an Efficient Method of Drug Metabolite Identification Using Directly Coupled Liquid Chromatography-Mass Spectrometry-Nuclear Magnetic Resonance Spectroscopy," <i>Journal of Chromatography B</i> , 2000, 281-293, Vol. 748.	
AJP	AU	DEBYSER, Z., et al., "Assays for the Evaluation of HIV-1 Integrase Inhibitors," <i>Methods in Molecular Biology</i> 160, 139-155. SCHEIN, C.H., Humana Press Inc., Totawa, NJ 2001.	
AJP	AV	DEKHANE, M., et al., "A New Efficient Synthesis of Ethyl $\beta$ -Carboline-3-Carboxylate ( $\beta$ -CCE) and Methyl 4-Methyl- $\beta$ -Carboline-3-Carboxylate (4-Methyl- $\beta$ -CCM) Starting From Indole-2 Carboxaldehyde," <i>Tetrahedron</i> , 1994, 6299-6306, Vol. 50, No. 21.	
AJP	AW	DOYLE, et al., "Nuclear Analogs of $\beta$ -lactam Antibiotics. I. Synthesis of O-2-isocephams," <i>Can. J. Chem.</i> , 1977, 468-483, Vol. 55.	
AJP	AX	EBERLE, M., "Contribution To The Chemistry Of Indole. About The 5-(1-Indolyl)-2-Pentanone System," <i>Journal of Organic Chemistry</i> , 1976, 633-636, Vol. 41, No. 4.	
AJP	AY	ELIEL, E.L., et al., <i>Stereochemistry of Organic Compounds</i> , Wiley, New York, 1994. <i>table of contents only</i>	
AJP	AZ	EROFEEV, Y.V., et al., "Introduction of 3-Indolymethyl Residues in Nitroacetic Acid Esters," <i>Khim. Get. Soed.</i> , 1978, 780.	
AJP	BA	GOLDGUR, Y., et al., "Structure Of The HIV-1 Integrase Catalytic Domain Complexed With An Inhibitor: A Platform For Antiviral Drug Design," <i>PNAS</i> , November 9, 1999, 13040-13043, Vol. 96, No. 23.	
AJP	BB	GROBLER, J. et al., "Diketo Acid Inhibitor Mechanism And HIV-1 Integrase: Implications For Metal Binding In The Active Site Of Phosphotransferase Enzymes," <i>PNAS</i> , May 14, 2002, 6661-6666, Vol. 99, No. 10.	
AJP	BC	HANSEN, M.S., et al., "Integration Complexes Derived From HIV Vectors For Rapid Assays In Vitro," <i>Nature Biotechnology</i> , June 1999, 578-582, Vol. 17, No. 6.	
AJP	BD	HAUSER, C.R., et al., <i>Org. Synth. Coll.</i> , Vol. 2., 1943, 67, John Wiley, New York. <i>table of contents only</i>	
AJP	BE	HAZUDA, D. et al., "Discovery And Analysis Of Inhibitors Of The Human Immunodeficiency Integrase," <i>Drug Design And Discovery</i> , 1997, 17-24, Vol. 15.	

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DATE CONSIDERED:

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**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**  
(Use as many sheets as necessary)

**Complete if Known**

Application Number	10765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned 1626
Examiner Name	To be assigned A. Kelly Smith
Attorney Docket Number	PC25051A

ATP	BF	JENKINS, T.M., et al., "A Soluble Active Mutant of HIV-1 Integrase," <i>Journal of Biological Chemistry</i> , 1996, 7712-7718, Vol. 271, No. 13.	
ATP	BG	KANTLEHNER, W., et al., "Umsetzungen von <i>tert</i> -Butoxy-N,N,N',N'-tetramethylmethandiamin mit Nh- und CH-aciden Verbindungen," <i>Liebigs Ann. Chem.</i> , 1980, 344 (see English abstract).	
ATP	BH	LEWIN, S.R., et al., "Use of Real-Time PCR and Molecular Beacons to Detect Virus Replication in Human Immunodeficiency Virus Type 1-Infected Individuals on Prolonged Effective Antiretroviral Therapy," <i>Journal of Virology</i> , July 1999, 6099-6103, Vol. 73, No. 7.	
ATP	BI	LYTTLE, D.A., et al., "The Chemistry of Nitroacetic Acid and Its Esters. I. The Alkylation of Alkylnitroacetates with Gramine," <i>J. Am. Chem. Soc.</i> , 1947, 2118-2119, Vol. 69.	
ATP	BJ	MARCH, JERRY, <i>Advanced Organic Chemistry</i> , 5 <sup>th</sup> Edition, 508-511, John Wiley & Sons, 2001. <i>(table of contents only)</i>	
ATP	BK	NEEF, G., et al., "Synthesis of 4-Substituted $\beta$ -Carbolines," <i>Heterocycles</i> , 1983, 1295-1313, Vol. 20, No. 7.	
ATP	BL	PAIS, G., et al., "Structure Activity of 3-Aryl-1,3-diketo-Containing Compounds as HIV-1 Integrase Inhibitors," <i>Journal of Medicinal Chemistry</i> , 2002, pp. 3184-3194, Vol. 45.	
ATP	BM	PROX, et al., "Rapid Structure Elucidation of Drug Metabolites by Use of Stable Isotopes," <i>Xenobiotica</i> , 1973, 103-112, Vol. 3 No. 2.	
ATP	BN	SANDRIN, J., et al., "Pictet-Spengler Condensations in Refluxing Benzene," <i>Heterocycles</i> , 1976, 1101-1104, Vol. 4, No. 6.	
ATP	BO	SAYASITH, K., et al., "Targeting HIV-1 Integrase," <i>Expert Opin. Ther. Targets</i> , 2001, 443-464, Vol. 5, No. 4.	
ATP	BP	SETTIMJ, et al., " $\beta$ -Carbolines as Agonistic or Antagonistic Benzodiazepine Receptor Ligands. 1. Synthesis of some 5-, 6- and 7-Amino Derivatives of 3-Methoxycarbonyl- $\beta$ -carboline ( $\beta$ -CCM) and of 3-Ethoxycarbonyl- $\beta$ -Carboline ( $\beta$ -CCE)," <i>J. Heterocycl. Chem.</i> , 1988, 1391-1397, Vol. 25.	
ATP	BQ	SHAN, D. et al., "Prodrug Strategies Based On Intramolecular Cyclization Reactions," <i>J. Pharm. Sci.</i> , 1997, 765-767, Vol. 86, No. 7.	
ATP	BR	SNYDER, H.R., et al., "The Synthesis of the 2-Amino-3-(3-indolyl)-butyric Acids ( $\beta$ -Methyltryptophans)," <i>J. Am. Chem. Soc.</i> , 1957, 2217-2221, Vol. 79.	
ATP	BS	SPRAUL, et al., "Liquid Chromatography Coupled with High-Field Proton NMR for Profiling Human Urine for Endogenous Compounds and Drug Metabolites," <i>J. Pharmaceutical &amp; Biomedical Analysis</i> , 1992, 601-605, Vol. 10, No. 8.	

EXAMINER:

*Anthony J. Smith*

DATE CONSIDERED:

3/14/05

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**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**  
(Use as many sheets as necessary)**Complete if Known**

Application Number	10/765,227
Filing Date	January 26, 2004
First Named Inventor	Atsuo Kuki
Art Unit	To be assigned 1626
Examiner Name	To be assigned A. H. [unclear]
Attorney Docket Number	PC25051A

ATP	BT	STILL, W., et al., "Rapid Chromatographic Technique For Preparative Separations With Moderate Resolution," <i>Journal of Organic Chemistry</i> , 1978, 2923-2925, Vol. 43, No. 14.	
ATP	BU	SUNDBERG, et al., "Syntheses With N-Protected 2-Lithioindoles," <i>Journal of Organic Chemistry</i> , 1973, 3324-3330, Vol. 38, No. 19.	
ATP	BV	TERWILLIGER, E.F., et al., "Construction and Use of a Replication-Competent Human Immunodeficiency Virus (HIV-1) That Expresses the Chloramphenicol acetyltransferase Enzyme," <i>Proc. Natl. Acad. Sci., USA</i> , May 1989, 3857-3861, Vol. 86.	
ATP	BW	WAI, J. et al., "4-Aryl-2,4-Dioxobutanoic Acid Inhibitors Of HIV-1 Integrase And Viral Replication In Cells," <i>Journal of Medicinal Chemistry</i> , December 28, 2000, 4923-4926, Vol. 43, No. 26.	
ATP	BX	WEISLOW, O.S., et al., "New Soluble-Formazan Assay for HIV-1 Cytopathic Effects: Application to High-Flux Screening of Synthetic and Natural Products for AIDS-Antiviral Activity," <i>J. Natl. Cancer Inst.</i> , April 19, 1989, 577-586, Vol. 81, No. 8.	
ATP	BY	YOUNG, S.D., et al., "Inhibition of HIV-1 Integrase by Small Molecules: The Potential for a New Class of AIDS Chemotherapeutics," <i>Curr. Opin. Drug Disc. &amp; Devel.</i> , 2001, 402-410, Vol. 4, No. 4.	
ATP	BZ	YOUNG, S., et al., "L-870, 810: A Potent Antiviral HIV Integrase Inhibitor with Potential Clinical Utility," Poster presented at International AIDS Conference, Barcelona, (July 7-12, 2002).	

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Application Number	10/765,227
Filing Date	01/26/2004
First Named Inventor	Atsuo KUKI
Art Unit	4720-1626
Examiner Name	Not yet assigned A. Parvizi
Attorney Docket Number	PC25051A

**U.S. PATENT DOCUMENTS**

EXAMINER INITIAL	Cite No. <sup>1</sup>	DOCUMENT NUMBER	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number-Kind Code <sup>2</sup>			

**FOREIGN PATENT DOCUMENTS**

EXAMINER INITIAL	Cite No. <sup>1</sup>	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T <sup>4</sup>
		Country Code <sup>3</sup> Number <sup>2</sup> Kind Code <sup>5</sup> (if known)				
ATP	AA	WO 03/033496 A	04.24.2003	Adnan M. MJALLI, et al.		
ATP	AB	WO 99/64420	12.16.1999	Christophe ALAIN, et al.	(English counterpart to EP1086101).	
ATP	AC	EP 0 030 254 A	08.23.1980	Dr. Ulrich, EDER, et al.		
ATP	AD	GB 2 209 032 A	04.26.1989	Charles John Robert HEDGECKOCK, et al		
ATP	AE	EP 1 209 158 A	11.18.2000	Dr. Olaf RITZELER, et al.		

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Information Disclosure Statement

Page 1 of 1

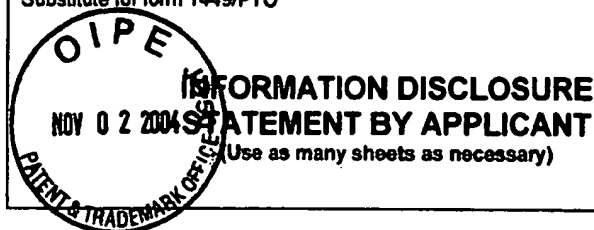
ATP

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**Complete if Known**

Application Number	10/765,227
Filing Date	01/26/2004
First Named Inventor	Atsuo Kuki
Art Unit	4720-1626
Examiner Name	Not yet assigned - A. Hough
Attorney Docket Number	PC25051A

**U.S. PATENT DOCUMENTS**

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KJP	AA	EP 1 086 101 B1	03-28-2001	Societe De Conseils De Recherches <i>Thur 1994</i>		

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